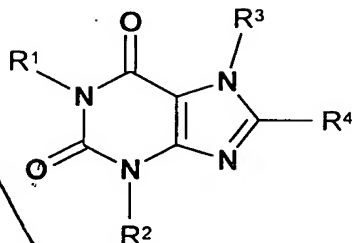


Patent Claims

1. Compounds of general formula



(I),

wherein

R¹ denotes a hydrogen atom,

a C₁₋₈-alkyl group,

a C₃₋₈-alkenyl group,

a C₃₋₄-alkenyl group which is substituted by a C₁₋₂-alkyloxy-carbonyl, aminocarbonyl, C₁₋₃-alkylamino-carbonyl, di-(C₁₋₃-alkyl)-amino-carbonyl, pyrrolidin-1-ylcarbonyl, piperidin-1-ylcarbonyl- or morpholin-4-ylcarbonyl- group,

a C₃₋₈-alkynyl group,

a C₁₋₆-alkyl group substituted by a group R_a, wherein

R_a denotes a C₃₋₇-cycloalkyl, heteroaryl, cyano, carboxy, C₁₋₃-alkyloxy-carbonyl, aminocarbonyl, C₁₋₃-alkylamino-carbonyl, di-(C₁₋₃-alkyl)-amino-carbonyl, pyrrolidin-1-ylcarbonyl, piperidin-1-ylcarbonyl, morpholin-4-ylcarbonyl, piperazin-1-ylcarbonyl, 4-methylpiperazin-1-ylcarbonyl or 4-ethylpiperazin-1-ylcarbonyl group,

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cont

a C₁₋₆-alkyl group substituted by a phenyl group, wherein the phenyl ring is substituted by the groups R¹⁰ to R¹⁴ and

R¹⁰ denotes a hydrogen atom,

a fluorine, chlorine, bromine or iodine atom,

a C₁₋₄-alkyl, hydroxy, or C₁₋₄-alkyloxy group,

a nitro, amino, C₁₋₃-alkylamino, di-(C₁₋₃-alkyl)amino, cyano-C₁₋₃-alkylamino, [N-(cyano-C₁₋₃-alkyl)-N-C₁₋₃-alkyl-amino], C₁₋₃-alkyloxy-carbonyl-C₁₋₃-alkylamino, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, 4-(C₁₋₃-alkyl)-piperazin-1-yl, C₁₋₃-alkyl-carbonylamino, arylcarbonylamino, aryl-C₁₋₃-alkyl-carbonylamino, C₁₋₃-alkyloxy-carbonylamino, aminocarbonylamino, C₁₋₃-alkyl-aminocarbonylamino, di-(C₁₋₃-alkyl)aminocarbonylamino, C₁₋₃-alkyl-sulphonylamino, bis-(C₁₋₃-alkylsulphonyl)-amino, aminosulphonylamino, C₁₋₃-alkylamino-sulphonylamino, di-(C₁₋₃-alkyl)amino-sulphonylamino, morpholin-4-yl-sulphonylamino, (C₁₋₃-alkylamino)thiocarbonylamino, (C₁₋₃-alkyloxy-carbonylamino)carbonylamino, arylsulphonylamino or aryl-C₁₋₃-alkyl-sulphonylamino group,

an N-(C₁₋₃-alkyl)-C₁₋₃-alkyl-carbonylamino, N-(C₁₋₃-alkyl)-arylcarbonylamino, N-(C₁₋₃-alkyl)-aryl-C₁₋₃-alkyl-carbonylamino, N-(C₁₋₃-alkyl)-C₁₋₃-alkyloxy-carbonylamino, N-(aminocarbonyl)-C₁₋₃-alkylamino, N-(C₁₋₃-alkyl-aminocarbonyl)-C₁₋₃-alkylamino, N-[di-(C₁₋₃-alkyl)aminocarbonyl]-C₁₋₃-alkylamino, N-(C₁₋₃-alkyl)-C₁₋₃-alkyl-sulphonylamino, N-(C₁₋₃-alkyl)-arylsulphonylamino or N-(C₁₋₃-alkyl)-aryl-C₁₋₃-alkyl-sulphonylamino group,

a 2-oxo-imidazolidin-1-yl, 2,4-dioxo-imidazolidin-1-yl or 2,5-dioxo-imidazolidin-1-yl group wherein the nitrogen atom in the 3 position may be substituted by a methyl or ethyl group,

B' cont
a cyano, carboxy, C₁₋₃-alkyloxy-carbonyl, aminocarbonyl, C₁₋₃-alkyl-aminocarbonyl, di-(C₁₋₃-alkyl)-aminocarbonyl, pyrrolidin-1-yl-carbonyl, piperidin-1-yl-carbonyl, morpholin-4-yl-carbonyl, piperazin-1-yl-carbonyl or 4-(C₁₋₃-alkyl)-piperazin-1-yl-carbonyl group,

a C₁₋₃-alkyl-carbonyl or an arylcarbonyl group,

a carboxy-C₁₋₃-alkyl, C₁₋₃-alkyloxy-carbonyl-C₁₋₃-alkyl, cyano-C₁₋₃-alkyl, aminocarbonyl-C₁₋₃-alkyl, C₁₋₃-alkyl-aminocarbonyl-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-aminocarbonyl-C₁₋₃-alkyl, pyrrolidin-1-yl-carbonyl-C₁₋₃-alkyl, piperidin-1-yl-carbonyl-C₁₋₃-alkyl, morpholin-4-yl-carbonyl-C₁₋₃-alkyl, piperazin-1-yl-carbonyl-C₁₋₃-alkyl or 4-(C₁₋₃-alkyl)-piperazin-1-yl-carbonyl-C₁₋₃-alkyl group,

a carboxy-C₁₋₃-alkyloxy, C₁₋₃-alkyloxy-carbonyl-C₁₋₃-alkyloxy, cyano-C₁₋₃-alkyloxy, aminocarbonyl-C₁₋₃-alkyloxy, C₁₋₃-alkyl-aminocarbonyl-C₁₋₃-alkyloxy, di-(C₁₋₃-alkyl)-aminocarbonyl-C₁₋₃-alkyloxy, pyrrolidin-1-yl-carbonyl-C₁₋₃-alkyl-oxy, piperidin-1-yl-carbonyl-C₁₋₃-alkyloxy, morpholin-4-yl-carbonyl-C₁₋₃-alkyl-oxy, piperazin-1-yl-carbonyl-C₁₋₃-alkyloxy or 4-(C₁₋₃-alkyl)-piperazin-1-yl-carbonyl-C₁₋₃-alkyloxy group,

a hydroxy-C₁₋₃-alkyl, C₁₋₃-alkyloxy-C₁₋₃-alkyl, amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl, pyrrolidin-1-yl-C₁₋₃-alkyl, piperidin-1-yl-C₁₋₃-alkyl, morpholin-4-yl-C₁₋₃-alkyl, piperazin-1-yl-C₁₋₃-alkyl, 4-(C₁₋₃-alkyl)-piperazin-1-yl-C₁₋₃-alkyl group,

a hydroxy-C₁₋₃-alkyloxy, C₁₋₃-alkyloxy-C₁₋₃-alkyloxy, C₁₋₃-alkylsulphanyl-C₁₋₃-alkyloxy, C₁₋₃-alkylsulphinyl-C₁₋₃-alkyloxy, C₁₋₃-alkylsulphonyl-C₁₋₃-alkyloxy, amino-C₁₋₃-alkyloxy, C₁₋₃-alkylamino-C₁₋₃-alkyloxy, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyloxy, pyrrolidin-1-yl-C₁₋₃-alkyloxy, piperidin-1-yl-C₁₋₃-alkyloxy, morpholin-4-yl-C₁₋₃-alkyloxy, piperazin-1-yl-C₁₋₃-alkyloxy, 4-(C₁₋₃-alkyl)-piperazin-1-yl-C₁₋₃-alkyloxy group,

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cont

a mercapto, C₁₋₃-alkylsulphanyl, C₁₋₃-alkylsulphinyl, C₁₋₃-alkylsulphonyl, C₁₋₃-alkylsulphonyloxy, arylsulphonyloxy, trifluoromethylsulphanyl, trifluoromethylsulphinyl or trifluoromethylsulphonyl group,

a sulpho, aminosulphonyl, C₁₋₃-alkyl-aminosulphonyl, di-(C₁₋₃-alkyl)-aminosulphonyl, pyrrolidin-1-yl-sulphonyl, piperidin-1-yl-sulphonyl, morpholin-4-yl-sulphonyl, piperazin-1-yl-sulphonyl or 4-(C₁₋₃-alkyl)-piperazin-1-yl-sulphonyl group,

a methyl or methoxy group substituted by 1 to 3 fluorine atoms,

an ethyl or ethoxy group substituted by 1 to 5 fluorine atoms,

a C₂₋₄-alkenyl or C₂₋₄-alkynyl group,

a C₃₋₄-alkenyloxy or C₃₋₄-alkynyloxy group,

a C₃₋₆-cycloalkyl or C₃₋₆-cycloalkyloxy group,

a C₃₋₆-cycloalkyl-C₁₋₃-alkyl or C₃₋₆-cycloalkyl-C₁₋₃-alkyloxy group or

an aryl, aryloxy, aryl-C₁₋₃-alkyl or aryl-C₁₋₃-alkyloxy group,

R¹¹ and R¹², which may be identical or different, each denote a hydrogen atom, a fluorine, chlorine, bromine or iodine atom, a C₁₋₃-alkyl, trifluoromethyl, hydroxy or C₁₋₃-alkyloxy group or a cyano group, or

R¹¹ together with R¹², if they are bound to adjacent carbon atoms, also denote a methylenedioxy, difluoromethylenedioxy, straight-chain C₃₋₅-alkylene, -CH=CH-CH=CH-, -CH=CH-CH=N or -CH=CH-N=CH- group, wherein the -CH=CH-CH=CH- group may be substituted by a fluorine, chlorine or bromine atom, by a methyl, trifluoromethyl, cyano, aminocarbonyl, aminosulphonyl,

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cont

methysulphonyl, methysulphonylamino, methoxy, difluoromethoxy or trifluoromethoxy group,

and

R^{13} and R^{14} , which may be identical or different, each denote a hydrogen atom, a fluorine, chlorine or bromine atom, a trifluoromethyl, C_{1-3} -alkyl or C_{1-3} -alkyloxy group,

a phenyl group substituted by the groups R^{10} to R^{14} , wherein R^{10} to R^{14} are as hereinbefore defined,

a phenyl- C_{2-3} -alkenyl group wherein the phenyl moiety is substituted by the groups R^{10} to R^{14} , wherein R^{10} to R^{14} are as hereinbefore defined,

a phenyl- $(CH_2)_m$ -A- $(CH_2)_n$ -group wherein the phenyl moiety is substituted by R^{10} to R^{14} , wherein R^{10} to R^{14} are as hereinbefore defined and

A denotes a carbonyl, cyanoiminomethylene, hydroxyiminomethylene or C_{1-3} -alkyloxyiminomethylene group, m denotes the number 0, 1 or 2 and n denotes the number 1, 2 or 3,

a phenyl- $(CH_2)_m$ -B- $(CH_2)_n$ group wherein the phenyl moiety is substituted by R^{10} to R^{14} , wherein R^{10} to R^{14} , m and n are as hereinbefore defined and

B denotes a methylene group which is substituted by a hydroxy, C_{1-3} -alkyloxy, amino, C_{1-3} -alkylamino, di- $(C_{1-3}$ -alkyl)-amino, mercapto, C_{1-3} -alkylsulphanyl, C_{1-3} -alkylsulphinyl or C_{1-3} -alkylsulphonyl group and is optionally additionally substituted by a methyl or ethyl group,

a heteroaryl- $(CH_2)_m$ -A- $(CH_2)_n$ group, wherein A, m and n are as hereinbefore defined,

a heteroaryl-(CH₂)_m-B-(CH₂)_n group, wherein B, m and n are as hereinbefore defined,

a C₁₋₆-alkyl-A-(CH₂)_n group, wherein A and n are as hereinbefore defined,

a C₃₋₇-cycloalkyl-(CH₂)_m-A-(CH₂)_n group, wherein A, m and n are as hereinbefore defined,

a C₃₋₇-cycloalkyl-(CH₂)_m-B-(CH₂)_n group, wherein B, m and n are as hereinbefore defined,

an R²¹-A-(CH₂)_n group wherein R²¹ denotes a C₁₋₃-alkyloxycarbonyl, aminocarbonyl, C₁₋₃-alkylaminocarbonyl, di-(C₁₋₃-alkyl)aminocarbonyl, pyrrolidin-1-yl-carbonyl, piperidin-1-yl-carbonyl or morpholin-4-yl-carbonyl, piperazin-1-yl-carbonyl, 4-methylpiperazin-1-yl-carbonyl or 4-ethylpiperazin-1-yl-carbonyl group and A and n are as hereinbefore defined,

a phenyl-(CH₂)_m-D-C₁₋₃-alkyl group wherein the phenyl moiety is substituted by the groups R¹⁰ to R¹⁴, wherein R¹⁰ to R¹⁴ and m are as hereinbefore defined and D denotes an oxygen or sulphur atom, an imino, C₁₋₃-alkylimino, sulphinyl or sulphonyl group,

a C₂₋₆-alkyl group substituted by a group R_b, wherein

R_b is isolated by at least two carbon atoms from the cyclic nitrogen atom in the 1 position of the xanthine skeleton and

R_b denotes a hydroxy, C₁₋₃-alkyloxy, mercapto, C₁₋₃-alkylsulphanyl, C₁₋₃-alkylsulphinyl, C₁₋₃-alkylsulphonyl, amino, C₁₋₃-alkylamino, di-(C₁₋₃-alkyl)-amino, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl or 4-(C₁₋₃-alkyl)-piperazin-1-yl group,

a C₃₋₆-cycloalkyl group,

B1 or an amino or arylcarbonylamino group,

cont R² denotes a hydrogen atom,

a C₁₋₈-alkyl group,

a C₂₋₆-alkenyl group,

a C₃₋₆-alkynyl group,

a C₁₋₆-alkyl group substituted by a group R_a, wherein R_a is as hereinbefore defined,

a C₁₋₆-alkyl group substituted by a phenyl group, wherein the phenyl ring is substituted by the groups R¹⁰ to R¹⁴ and R¹⁰ to R¹⁴ are as hereinbefore defined,

a phenyl group substituted by the groups R¹⁰ to R¹⁴, wherein R¹⁰ to R¹⁴ are as hereinbefore defined,

a phenyl-C_{2,3}-alkenyl group wherein the phenyl moiety is substituted by the groups R¹⁰ to R¹⁴, wherein R¹⁰ to R¹⁴ are as hereinbefore defined,

a phenyl-(CH₂)_m-A-(CH₂)_n group wherein the phenyl moiety is substituted by R¹⁰ to R¹⁴, wherein R¹⁰ to R¹⁴, A, m and n are as hereinbefore defined,

a phenyl-(CH₂)_m-B-(CH₂)_n group wherein the phenyl moiety is substituted by R¹⁰ to R¹⁴, wherein R¹⁰ to R¹⁴, B, m and n are as hereinbefore defined,

a heteroaryl-(CH₂)_m-A-(CH₂)_n group, wherein A, m and n are as hereinbefore defined,

B'
cont
a heteroaryl-(CH₂)_m-B-(CH₂)_n group, wherein B, m and n are as hereinbefore defined,

a C₁₋₆-alkyl-A-(CH₂)_n group, wherein A and n are as hereinbefore defined,

a C₃₋₇-cycloalkyl-(CH₂)_m-A-(CH₂)_n group, wherein A, m and n are as hereinbefore defined,

a C₃₋₇-cycloalkyl-(CH₂)_m-B-(CH₂)_n group, wherein B, m and n are as hereinbefore defined,

an R²¹-A-(CH₂)_n group wherein R²¹, A and n are as hereinbefore defined,

a phenyl-(CH₂)_m-D-C₁₋₃-alkyl group wherein the phenyl moiety is substituted by the groups R¹⁰ to R¹⁴, wherein R¹⁰ to R¹⁴, m and D are as hereinbefore defined,

a C₂₋₆-alkyl group substituted by a group R_b, wherein

R_b is isolated by at least two carbon atoms from the cyclic nitrogen atom in the 3 position of the xanthine skeleton and is as hereinbefore defined,

or a C₃₋₆-cycloalkyl group,

R³ denotes a C₁₋₈-alkyl group,

a C₁₋₄-alkyl group substituted by the group R_c, wherein

R_c denotes a C₃₋₇-cycloalkyl group optionally substituted by one or two C₁₋₃-alkyl groups,

a C₅₋₇-cycloalkenyl group optionally substituted by one or two C₁₋₃-alkyl groups or

denotes an aryl or heteroaryl group,

B' cont
a C₃₋₈-alkenyl group,

a C₃₋₆-alkenyl group substituted by a fluorine, chlorine or bromine atom or a trifluoromethyl group,

a C₃₋₈-alkynyl group,

an aryl group or

an aryl-C₂₋₄-alkenyl group,

and

R⁴ denotes an azetidin-1-yl or pyrrolidin-1-yl group which is substituted in the 3 position by a R_eNR_d group and may additionally be substituted by one or two C₁₋₃-alkyl groups, wherein

R_e denotes a hydrogen atom or a C₁₋₃-alkyl group and

R_d denotes a hydrogen atom, a C₁₋₃-alkyl group, an R_f-C₁₋₃-alkyl group or an R_g-C₂₋₃-alkyl group, wherein

R_f denotes a carboxy, C₁₋₃-alkyloxy-carbonyl, aminocarbonyl, C₁₋₃-alkyl-amino-carbonyl, di-(C₁₋₃-alkyl)-aminocarbonyl, pyrrolidin-1-yl-carbonyl, 2-cyanopyrrolidin-1-yl-carbonyl, 2-carboxypyrrolidin-1-yl-carbonyl, 2-methoxycarbonylpyrrolidin-1-yl-carbonyl, 2-ethoxycarbonylpyrrolidin-1-yl-carbonyl, 2-aminocarbonylpyrrolidin-1-yl-carbonyl, 4-cyanothiazolidin-3-yl-carbonyl, 4-carboxythiazolidin-3-yl-carbonyl, 4-methoxycarbonylthiazolidin-3-yl-carbonyl, 4-ethoxy-carbonylthiazolidin-3-yl-carbonyl, 4-aminocarbonylthiazolidin-3-yl-

B' cont

carbonyl, piperidin-1-yl-carbonyl, morpholin-4-yl-carbonyl, piperazin-1-yl-carbonyl, 4-methyl-piperazin-1-yl-carbonyl or 4-ethyl-piperazin-1-yl-carbonyl group and

R_g , which is separated by two carbon atoms from the nitrogen atom of the R_eNR_d group, denotes a hydroxy, methoxy or ethoxy group,

a piperidin-1-yl or hexahydroazepin-1-yl group which is substituted in the 3 position or in the 4 position by a R_eNR_d group and may additionally be substituted by one or two C_{1-3} -alkyl groups, wherein R_e and R_d are as hereinbefore defined,

a 3-amino-piperidin-1-yl group wherein the piperidin-1-yl moiety is additionally substituted by an aminocarbonyl, C_{1-2} -alkyl-aminocarbonyl, di- $(C_{1-2}$ -alkyl)aminocarbonyl, pyrrolidin-1-yl-carbonyl, (2-cyano-pyrrolidin-1-yl-)carbonyl, thiazolidin-3-yl-carbonyl, (4-cyano-thiazolidin-3-yl)carbonyl, piperidin-1-ylcarbonyl or morpholin-4-ylcarbonyl group,

a 3-amino-piperidin-1-yl group wherein the piperidin-1-yl moiety in the 4 position or in the 5 position is additionally substituted by a hydroxy or methoxy group,

a 3-amino-piperidin-1-yl group wherein the methylene group in the 2 position or in the 6 position is replaced by a carbonyl group,

a piperidin-1-yl or hexahydroazepin-1-yl- group substituted in the 3 position by an amino, C_{1-3} -alkylamino or di- $(C_{1-3}$ -alkyl)-amino group, wherein in each case two hydrogen atoms at the carbon skeleton of the piperidin-1-yl or hexahydroazepin-1-yl- group are replaced by a straight-chain alkylene bridge, this bridge containing 2 to 5 carbon atoms if the two hydrogen atoms are located on the same carbon atom, or 1 to 4 carbon atoms if the hydrogen atoms are located on adjacent carbon atoms, or 1 to 4 carbon atoms, if the hydrogen atoms are located at carbon atoms separated by one atom, or 1 to 3 carbon atoms if the two hydrogen atoms are located at carbon atoms separated by two atoms,

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Cont an azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl or hexahydroazepin-1-yl group which is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a -(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

a piperazin-1-yl or [1,4]diazepan-1-yl group optionally substituted at the carbon skeleton by one or two C₁₋₃-alkyl groups,

a 3-imino-piperazin-1-yl, 3-imino-[1,4]diazepan-1-yl or 5-imino-[1,4]diazepan-1-yl group optionally substituted at the carbon skeleton by one or two C₁₋₃-alkyl groups,

a [1,4]diazepan-1-yl group optionally substituted by one or two C₁₋₃-alkyl groups, which is substituted in the 6 position by an amino group,

a C₃₋₇-cycloalkyl group which is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group,

a C₃₋₇-cycloalkyl group which is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

a C₃₋₇-cycloalkyl-C₁₋₂-alkyl group wherein the cycloalkyl moiety is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group,

a C₃₋₇-cycloalkyl-C₁₋₂-alkyl group wherein the cycloalkyl moiety is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

a C₃₋₇-cycloalkylamino group wherein the cycloalkyl moiety is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group, wherein the two nitrogen atoms on the cycloalkyl moiety are separated from one another by at least two carbon atoms,

B' cont
an N-(C₃₋₇-cycloalkyl)-N-(C₁₋₃-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group, wherein the two nitrogen atoms on the cycloalkyl moiety are separated from one another by at least two carbon atoms,

a C₃₋₇-cycloalkylamino group wherein the cycloalkyl moiety is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

an N-(C₃₋₇-cycloalkyl)-N-(C₁₋₃-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

a C₃₋₇-cycloalkyl-C₁₋₂-alkyl-amino group wherein the cycloalkyl moiety is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group,

an N-(C₃₋₇-cycloalkyl-C₁₋₂-alkyl)-N-(C₁₋₂-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group,

a C₃₋₇-cycloalkyl-C₁₋₂-alkyl-amino group wherein the cycloalkyl moiety is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

an N-(C₃₋₇-cycloalkyl-C₁₋₂-alkyl)-N-(C₁₋₂-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

an amino group substituted by the groups R¹⁵ and R¹⁶ wherein

R¹⁵ denotes a C₁₋₆-alkyl group, a C₃₋₆-cycloalkyl, C₃₋₆-cycloalkyl-C₁₋₃-alkyl, aryl or aryl-C₁₋₃-alkyl group and

B¹
cont

R^{16} denotes an R^{17} - C_{2-3} -alkyl group, wherein the C_{2-3} -alkyl moiety is straight-chained and may be substituted by one to four C_{1-3} -alkyl groups, which may be identical or different, or by an aminocarbonyl, C_{1-2} -alkyl-aminocarbonyl, di- $(C_{1-2}$ -alkyl)aminocarbonyl, pyrrolidin-1-yl-carbonyl, piperidin-1-ylcarbonyl or morpholin-4-ylcarbonyl group and

R^{17} denotes an amino, C_{1-3} -alkylamino or di- $(C_{1-3}$ -alkyl)-amino group, wherein, if R^3 denotes a methyl group, R^{17} cannot represent a di- $(C_{1-3}$ -alkyl)-amino group,

an amino group substituted by R^{20} , wherein

R^{20} denotes an azetidin-3-yl, azetidin-2-ylmethyl, azetidin-3-ylmethyl, pyrrolidin-3-yl, pyrrolidin-2-ylmethyl, pyrrolidin-3-ylmethyl, piperidin-3-yl, piperidin-4-yl, piperidin-2-ylmethyl, piperidin-3-ylmethyl or piperidin-4-ylmethyl group, while the groups mentioned for R^{20} may each be substituted by one or two C_{1-3} -alkyl groups,

an amino group substituted by the groups R^{15} and R^{20} , wherein

R^{15} and R^{20} are as hereinbefore defined, while the groups mentioned for R^{20} may each be substituted by one or two C_{1-3} -alkyl groups,

an R^{19} - C_{3-4} -alkyl- group wherein the C_{3-4} -alkyl moiety is straight-chained and may be substituted by the group R^{15} and may additionally be substituted by one or two C_{1-3} -alkyl groups, wherein R^{15} is as hereinbefore defined and R^{19} denotes an amino, C_{1-3} -alkylamino or di- $(C_{1-3}$ -alkyl)-amino group,

a 3-amino-2-oxo-piperidin-5-yl or 3-amino-2-oxo-1-methyl-piperidin-5-yl group,

B' cont
a pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, hexahydroazepin-3-yl or hexahydroazepin-4-yl group which is substituted in the 1 position by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)amino group,

or an azetidin-2-yl-C₁₋₂-alkyl, azetidin-3-yl-C₁₋₂-alkyl, pyrrolidin-2-yl-C₁₋₂-alkyl, pyrrolidin-3-yl, pyrrolidin-3-yl-C₁₋₂-alkyl, piperidin-2-yl-C₁₋₂-alkyl, piperidin-3-yl, piperidin-3-yl-C₁₋₂-alkyl, piperidin-4-yl or piperidin-4-yl-C₁₋₂-alkyl group, wherein the abovementioned groups may each be substituted by one or two C₁₋₃-alkyl groups,

while by the aryl groups mentioned in the definition of the groups mentioned above are meant phenyl or naphthyl groups which may be mono- or disubstituted by R_h independently of one another, while the substituents may be identical or different; and R_h denotes a fluorine, chlorine, bromine or iodine atom, a trifluoromethyl, cyano, nitro, amino, C₁₋₃-alkyl, cyclopropyl, ethenyl, ethynyl, hydroxy, C₁₋₃-alkyloxy, difluoromethoxy or trifluoromethoxy group,

by the heteroaryl groups mentioned in the definition of the groups mentioned above is meant a pyrrolyl, furanyl, thienyl, pyridyl, indolyl, benzofuranyl, benzothiophenyl, quinolinyll or isoquinolinyll group,

or a pyrrolyl, furanyl, thienyl or pyridyl group wherein one or two methyne groups are replaced by nitrogen atoms,

or an indolyl, benzofuranyl, benzothiophenyl, quinolinyll or isoquinolinyll group wherein one to three methyne groups are replaced by nitrogen atoms,

or a 2,3-dihydro-2-oxo-1H-benzimidazolyl, 2,3-dihydro-2-oxo-benzoxazolyl, 1,2-dihydro-2-oxo-quinolinyll, 1,2-dihydro-2-oxo-quinazolinyll or 3,4-dihydro-3-oxo-2H-benzo[1,4]oxazinyl group,

wherein the five-membered groups or moieties may each be substituted by one or two C₁₋₃-alkyl groups or a trifluoromethyl group and

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cont

the six-membered groups or moieties may each be substituted by one or two C₁₋₃-alkyl groups or by a fluorine, chlorine, bromine or iodine atom, by a trifluoromethyl, cyano, aminocarbonyl, aminosulphonyl, methylsulphonyl, methylsulphonylamino, hydroxy, C₁₋₃-alkyloxy, difluoromethoxy or trifluoromethoxy group,

wherein, unless otherwise stated, the abovementioned alkyl, alkenyl and alkynyl groups may be straight-chain or branched,

as well as the derivatives which are N-oxidised or methylated or ethylated at the cyclic nitrogen atom in the 9 position of the xanthine skeleton,

with the proviso that the compounds wherein

R¹ denotes a hydrogen atom, a methyl, propyl, 2-hydroxypropyl, aminocarbonylmethyl or benzyl group,

R² denotes a methyl group,

R³ denotes a C₁₋₈-alkyl group, a benzyl group optionally substituted by a fluorine, chlorine or bromine atom or by a methyl group, a 1-phenylethyl or 2-phenylethyl group, a 2-propen-1-yl, 2-buten-1-yl, 3-chloro-2-buten-1-yl or 2-methyl-2-propen-1-yl group

and

R⁴ denotes a piperazin-1-yl group, are excluded,

and with the proviso that the compounds wherein

R¹ denotes a hydrogen atom or a methyl group,

B1
cont

R^2 denotes a hydrogen atom or a methyl group,

R^3 denotes a methyl group

and

R^4 denotes a 3-aminopropyl, 3-[di-(C_{1-3} -alkyl)amino]-propyl, 1-phenyl-3-[di-(C_{1-3} -alkyl)amino]-propyl, 1-phenyl-3-methyl-3-(dimethylamino)-propyl, 1-(4-chlorophenyl)-3-(dimethylamino)-propyl, 1-phenyl-2-methyl-3-(dimethylamino)-propyl, 1-(3-methoxyphenyl)-3-(dimethylamino)-propyl or a 4-aminobutyl group, are excluded,

and with the proviso that the compound

1,3,7-trimethyl-8-(1-aminocyclohexyl)-xanthine

is excluded,

the isomers and the salts thereof.

2. Compounds of general formula I according to claim 1, wherein

R^1 denotes a hydrogen atom,

a C_{1-6} -alkyl group,

a C_{3-6} -alkenyl group,

a C_{3-4} -alkenyl group which is substituted by a C_{1-2} -alkyloxy-carbonyl group,

a C₃₋₆-alkynyl group,

a C₃₋₆-cycloalkyl-C₁₋₃-alkyl group,

a phenyl group which may be substituted by a fluorine, chlorine or bromine atom or by a methyl, trifluoromethyl, hydroxy or methoxy group,

a phenyl-C₁₋₄-alkyl group wherein the phenyl moiety is substituted by R¹⁰ to R¹², wherein

R¹⁰ denotes a hydrogen atom, a fluorine, chlorine or bromine atom,

a C₁₋₄-alkyl, trifluoromethyl, hydroxymethyl, C₃₋₆-cycloalkyl, ethynyl or phenyl group,

a hydroxy, C₁₋₄-alkyloxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, phenoxy, benzyloxy, 2-propen-1-yloxy, 2-propyn-1-yloxy, cyano-C₁₋₂-alkyloxy, C₁₋₂-alkylsulphonyloxy, phenylsulphonyloxy, carboxy-C₁₋₃-alkyloxy, C₁₋₃-alkyloxy-carbonyl-C₁₋₃-alkyloxy, aminocarbonyl-C₁₋₃-alkyloxy, C₁₋₂-alkyl-aminocarbonyl-C₁₋₃-alkyloxy, di-(C₁₋₂-alkyl)aminocarbonyl-C₁₋₃-alkyloxy, pyrrolidin-1-yl-carbonyl-C₁₋₃-alkyloxy, piperidin-1-ylcarbonyl-C₁₋₃-alkyloxy, morpholin-4-ylcarbonyl-C₁₋₃-alkyloxy, methylsulphanylmethoxy, methylsulphinylmethoxy, methylsulphonylmethoxy, C₃₋₆-cycloalkyloxy or C₃₋₆-cycloalkyl-C₁₋₂-alkyloxy group,

a carboxy, C₁₋₃-alkyloxycarbonyl, carboxy-C₁₋₃-alkyl, C₁₋₃-alkyloxy-carbonyl-C₁₋₃-alkyl, aminocarbonyl, C₁₋₂-alkylaminocarbonyl, di-(C₁₋₂-alkyl)aminocarbonyl or cyano group,

a nitro, amino, C₁₋₂-alkylamino, di-(C₁₋₂-alkyl)amino, cyano-C₁₋₂-alkylamino, [N-(cyano-C₁₋₂-alkyl)-N-C₁₋₂-alkyl-amino], C₁₋₂-alkyloxy-carbonyl-C₁₋₂-alkylamino, C₁₋₂-alkylcarbonylamino, C₁₋₂-alkyloxy-carbonylamino, C₁₋₃-

B'
cont

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cont

alkylsulphonylamino, bis-(C₁₋₂-alkylsulphonyl)-amino, aminosulphonylamino, C₁₋₂-alkylamino-sulphonylamino, di-(C₁₋₂-alkyl)amino-sulphonylamino, morpholin-4-yl-sulphonylamino, (C₁₋₂-alkylamino)thiocarbonylamino, (C₁₋₂-alkyloxy-carbonylamino)carbonylamino, aminocarbonylamino, C₁₋₂-alkylaminocarbonylamino or di-(C₁₋₂-alkyl)aminocarbonylamino group,

a 2-oxo-imidazolidin-1-yl, 2,4-dioxo-imidazolidin-1-yl or 2,5-dioxo-imidazolidin-1-yl group wherein the nitrogen atom in the 3 position may be substituted by a methyl group,

or

a C₁₋₂-alkylsulphanyl, C₁₋₂-alkylsulphinyl, C₁₋₂-alkylsulphonyl, aminosulphonyl, C₁₋₂-alkylaminosulphonyl or di-(C₁₋₂-alkyl)aminosulphonyl group,

and R¹¹ and R¹², which may be identical or different, denote a hydrogen, fluorine, chlorine or bromine atom or

a methyl, trifluoromethyl or methoxy group,

or, R¹¹ together with R¹², if they are bound to adjacent carbon atoms, also denote a methylenedioxy, difluoromethylenedioxy, 1,3-propylene, 1,4-butylene or a -CH=CH-CH=CH- group, wherein the -CH=CH-CH=CH- group may be substituted by a fluorine, chlorine or bromine atom, by a methyl-trifluoromethyl, cyano, aminocarbonyl, aminosulphonyl, methylsulphonyl, methylsulphonylamino, methoxy, difluoromethoxy or trifluoromethoxy group,

a phenyl-C₂₋₃-alkenyl group, wherein the phenyl moiety may be substituted by a fluorine, chlorine or bromine atom or by a methyl, trifluoromethyl or methoxy group,

a phenyl-(CH₂)_m-A-(CH₂)_n group wherein the phenyl moiety is substituted by R¹⁰ to R¹², wherein R¹⁰ to R¹² are as hereinbefore defined and

B¹
cont

A denotes a carbonyl, hydroxyiminomethylene or C₁₋₂-alkyloxyiminomethylene group, m denotes the number 0 or 1 and n denotes the number 1 or 2,

a phenyl-(CH₂)_m-B-(CH₂)_n group wherein the phenyl moiety is substituted by R¹⁰ to R¹², wherein R¹⁰ to R¹², m and n are as hereinbefore defined and

B denotes a methylene group which is substituted by a hydroxy or C₁₋₂-alkyloxy group and is optionally additionally substituted by a methyl group,

a heteroaryl-C₁₋₃-alkyl group, wherein by the term heteroaryl is meant a pyrrolyl, imidazolyl, triazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, indolyl, benzimidazolyl, 2,3-dihydro-2-oxo-1H-benzimidazolyl, indazolyl, benzofuranyl, benzoxazolyl, dihydro-2-oxo-benzoxazolyl, benzisoxazolyl, benzothiophenyl, benzothiazolyl, benzoisothiazolyl, quinoliny, 1,2-dihydro-2-oxo-quinoliny, isoquinoliny, quinazolinyl, 1,2-dihydro-2-oxo-quinazolinyl or 3,4-dihydro-3-oxo-2H-benzo[1,4]oxazinyl group,

wherein the heterocyclic moiety of the abovementioned groups is optionally substituted by one or two methyl groups or a trifluoromethyl group, and the benzo moiety of the abovementioned heterocycles with an annellated benzo group is optionally substituted by a fluorine, chlorine or bromine atom, by a methyl, trifluoromethyl, cyano, aminocarbonyl, aminosulphonyl, methylsulphonyl, methylsulphonylamino, methoxy, difluoromethoxy or trifluoromethoxy group,

a heteroaryl-(CH₂)_m-A-(CH₂)_n group, wherein heteroaryl, A, m and n are as hereinbefore defined,

a heteroaryl-(CH₂)_m-B-(CH₂)_n group, wherein heteroaryl, B, m and n are as hereinbefore defined,

a C₁₋₄-alkyl-A-(CH₂)_n group, wherein A and n are as hereinbefore defined,

B¹ Cont
a C₃₋₆-cycloalkyl-(CH₂)_m-A-(CH₂)_n group, wherein A, m and n are as hereinbefore defined,

a C₃₋₆-cycloalkyl-(CH₂)_m-B-(CH₂)_n group, wherein B, m and n are as hereinbefore defined,

an R²¹-A-(CH₂)_n group wherein R²¹ denotes a C₁₋₂-alkyloxycarbonyl, aminocarbonyl, C₁₋₂-alkylaminocarbonyl, di-(C₁₋₂-alkyl)aminocarbonyl, pyrrolidin-1-yl-carbonyl, piperidin-1-yl-carbonyl or morpholin-4-yl-carbonyl group and A and n are as hereinbefore defined,

a phenyl-D-C₁₋₃-alkyl group wherein the phenyl moiety is optionally substituted by a fluorine, chlorine or bromine atom, a methyl, trifluoromethyl or methoxy group and D denotes an oxygen or sulphur atom, a sulphinyl or sulphonyl group,

a C₁₋₄-alkyl group substituted by a group R_a, wherein

R_a denotes a cyano, carboxy, C₁₋₃-alkyloxy-carbonyl, aminocarbonyl, C₁₋₂-alkyl-aminocarbonyl, di-(C₁₋₂-alkyl)aminocarbonyl, pyrrolidin-1-yl-carbonyl, piperidin-1-ylcarbonyl or morpholin-4-ylcarbonyl group,

a C₂₋₄-alkyl group substituted by a group R_b, wherein

R_b denotes a hydroxy, C₁₋₃-alkyloxy, amino, C₁₋₃-alkylamino, di-(C₁₋₃-alkyl)-amino, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, 4-methyl-piperazin-1-yl or 4-ethyl-piperazin-1-yl group and is isolated by at least two carbon atoms from the cyclic nitrogen atom in the 1 position of the xanthine skeleton ,

or an amino or benzoylamino group,

B1
cont

R^2 denotes a hydrogen atom,

a C_{1-6} -alkyl group,

a C_{2-4} -alkenyl group,

a C_{3-4} -alkynyl group,

a C_{3-6} -cycloalkyl group,

a C_{3-6} -cycloalkyl- C_{1-3} -alkyl group,

a phenyl group which is optionally substituted by a fluorine, chlorine or bromine atom or by a methyl, trifluoromethyl, hydroxy, methoxy, difluoromethoxy or trifluoromethoxy group,

a phenyl- C_{1-4} -alkyl group wherein the phenyl moiety is optionally substituted by a fluorine, chlorine or bromine atom, a methyl, trifluoromethyl, hydroxy, methoxy, difluoromethoxy or trifluoromethoxy group,

a phenyl- C_{2-3} -alkenyl group, wherein the phenyl moiety may be substituted by a fluorine, chlorine or bromine atom or by a methyl, trifluoromethyl or methoxy group,

a phenylcarbonyl- C_{1-2} -alkyl group wherein the phenyl moiety is optionally substituted by a fluorine, chlorine or bromine atom, a methyl, trifluoromethyl, hydroxy, methoxy, difluoromethoxy or trifluoromethoxy group,

a heteroaryl- C_{1-3} -alkyl group, wherein the term heteroaryl is as hereinbefore defined,

a heteroarylcarbonyl- C_{1-2} -alkyl group, wherein the term heteroaryl is as hereinbefore defined,

B'
Cont a C₁₋₄-alkyl-carbonyl-C₁₋₂-alkyl group,

a C₃₋₆-cycloalkyl-carbonyl-C₁₋₂-alkyl group,

a phenyl-D-C₁₋₃-alkyl group wherein the phenyl moiety is optionally substituted by a fluorine, chlorine or bromine atom, a methyl, trifluoromethyl, hydroxy, methoxy, difluoromethoxy or trifluoromethoxy group, and D is as hereinbefore defined, or

a C₁₋₄-alkyl group substituted by a group R_a, wherein R_a is as hereinbefore defined,

a C₂₋₄-alkyl group substituted by a group R_b, wherein R_b is as hereinbefore defined and is isolated by at least two carbon atoms from the cyclic nitrogen atom in the 3 position of the xanthine skeleton,

R³ denotes a C₂₋₆-alkyl group,

a C₃₋₇-alkenyl group,

a C₃₋₅-alkenyl group which is substituted by a fluorine, chlorine or bromine atom or a trifluoromethyl group,

a C₃₋₆-alkynyl group,

a C₁₋₃-alkyl group substituted by the group R_c, wherein

R_c denotes a C₃₋₆-cycloalkyl group optionally substituted by one or two methyl groups,

a C₅₋₆-cycloalkenyl group optionally substituted by one or two methyl groups,

B' a phenyl group optionally substituted by a fluorine, chlorine or bromine atom, by a methyl, trifluoromethyl, cyano, nitro, amino, hydroxy, methoxy, difluoromethoxy or trifluoromethoxy group,

a phenyl group which is substituted by two fluorine atoms,

a naphthyl group or

a furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl or pyridyl group optionally substituted by a methyl or trifluoromethyl group,

a phenyl group optionally substituted by a fluorine, chlorine or bromine atom, by a methyl, trifluoromethyl, cyano, hydroxy, methoxy, difluoromethoxy or trifluoromethoxy group,

a phenyl group which is substituted by two methyl groups,

a naphthyl group

or a phenyl-C₂₋₃-alkenyl group

and

R⁴ denotes a pyrrolidin-1-yl group which is substituted in the 3 position by an amino, methylamino or dimethylamino group,

an azetidin-1-yl group which is substituted by an aminomethyl group,

a pyrrolidin-1-yl group which is substituted by an aminomethyl group,

a piperidin-1-yl group which is substituted in the 3 position or in the 4 position by an amino, methylamino, dimethylamino or [(2-cyano-pyrrolidin-1-yl)-carbonylmethyl]-

B' amino group, wherein the piperidin-1-yl moiety may additionally be substituted by a methyl or ethyl group,

a 3-amino-piperidin-1-yl group wherein the piperidin-1-yl moiety is additionally substituted by an aminocarbonyl, C₁₋₂-alkyl-aminocarbonyl, di-(C₁₋₂-alkyl)aminocarbonyl, pyrrolidin-1-yl-carbonyl, (2-cyano-pyrrolidin-1-yl-)carbonyl, thiazolidin-3-yl-carbonyl, (4-cyano-thiazolidin-3-yl)carbonyl, piperidin-1-ylcarbonyl or morpholin-4-ylcarbonyl group,

a 3-amino-piperidin-1-yl group wherein the piperidin-1-yl moiety in the 4 position or in the 5 position is additionally substituted by a hydroxy or methoxy group,

a 3-amino-piperidin-1-yl group wherein the methylene group in the 2 position or in the 6 position is replaced by a carbonyl group,

a 3-amino-piperidin-1-yl group wherein a hydrogen atom in the 2 position together with a hydrogen atom in the 5 position is replaced by a -CH₂-CH₂- bridge,

a 3-amino-piperidin-1-yl group wherein a hydrogen atom in the 2 position together with a hydrogen atom in the 6 position is replaced by a -CH₂-CH₂- bridge,

a 3-amino-piperidin-1-yl group wherein a hydrogen atom in the 4 position together with a hydrogen atom in the 6 position is replaced by a -CH₂-CH₂- bridge,

a piperidin-1-yl group which is substituted by an aminomethyl group,

a piperidin-3-yl or piperidin-4-yl group,

a piperidin-3-yl or piperidin-4-yl group which is substituted in the 1 position by an amino group,

B' a hexahydroazepin-1-yl- group which is substituted in the 3 position or in the 4 position by an amino group,

a piperazin-1-yl or [1,4]diazepan-1-yl group optionally substituted at the carbon skeleton by one or two methyl groups,

a 3-imino-piperazin-1-yl, 3-imino-[1,4]diazepan-1-yl or 5-imino-[1,4]diazepan-1-yl group,

a [1,4]diazepan-1-yl group, which is substituted in the 6 position by an amino group,

a C₃₋₆-cycloalkyl-amino group wherein the cycloalkyl moiety is substituted by an amino, methylamino or dimethylamino group, wherein the two nitrogen atoms are isolated from one another at the cycloalkyl moiety by at least two carbon atoms,

an N-(C₃₋₆-cycloalkyl)-N-(C₁₋₂-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an amino, methylamino or dimethylamino group, wherein the two nitrogen atoms are isolated from one another at the cycloalkyl moiety by at least two carbon atoms,

a C₃₋₆-cycloalkyl-amino group wherein the cycloalkyl moiety is substituted by an aminomethyl or aminoethyl group,

an N-(C₃₋₆-cycloalkyl)-N-(C₁₋₂-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an aminomethyl or aminoethyl group,

a C₃₋₆-cycloalkyl-C₁₋₂-alkyl-amino group wherein the cycloalkyl moiety is substituted by an amino, aminomethyl or aminoethyl group,

an N-(C₃₋₆-cycloalkyl-C₁₋₂-alkyl)-N-(C₁₋₂-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an amino, aminomethyl or aminoethyl group.

B1
an amino group substituted by the groups R^{15} and R^{16} wherein

R^{15} denotes a C_{1-4} -alkyl group and

R^{16} denotes a 2-aminoethyl, 2-(methylamino)ethyl or 2-(dimethylamino)ethyl group, wherein the ethyl moiety may in each case be substituted by one or two methyl or ethyl groups or by an aminocarbonyl, C_{1-2} -alkyl-aminocarbonyl, di- $(C_{1-2}$ -alkyl)aminocarbonyl, pyrrolidin-1-yl-carbonyl, piperidin-1-ylcarbonyl or morpholin-4-ylcarbonyl group,

an amino group wherein the nitrogen atom is substituted by a pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, pyrrolidin-2-ylmethyl, pyrrolidin-3-ylmethyl, piperidin-2-ylmethyl, piperidin-3-ylmethyl or piperidin-4-ylmethyl group,

a C_{1-2} -alkylamino group wherein the nitrogen atom is substituted by a pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, pyrrolidin-2-ylmethyl, pyrrolidin-3-ylmethyl, piperidin-2-ylmethyl, piperidin-3-ylmethyl or piperidin-4-ylmethyl group,

a 3-amino-propyl, 3-methylamino-propyl or 3-dimethylamino-propyl group wherein the propyl moiety may be substituted by one or two methyl groups,

a 4-amino-butyl, 4-methylamino-butyl or 4-dimethylamino-butyl group wherein the butyl moiety may be substituted by one or two methyl groups,

a C_{1-2} -alkyl group which is substituted by a 2-pyrrolidinyl, 3-pyrrolidinyl, 2-piperidinyl, 3-piperidinyl or 4-piperidinyl group,

a 3-amino-2-oxo-piperidin-5-yl or 3-amino-2-oxo-1-methyl-piperidin-5-yl group,

a C_{3-6} -cycloalkyl group which is substituted by an amino, aminomethyl or aminoethyl group or

a C_{3-6} -cycloalkyl- C_{1-2} -alkyl group wherein the cycloalkyl moiety is substituted by an amino, aminomethyl or aminoethyl group,

wherein unless otherwise stated, the abovementioned alkyl, alkenyl and alkynyl groups may be straight-chain or branched,

with the proviso that the compounds wherein

*B'
Cont* R¹ denotes a hydrogen atom, a methyl, propyl, 2-hydroxypropyl, aminocarbonyl-methyl or benzyl group,

R² denotes a methyl group,

R³ denotes a C₁₋₅-alkyl group, a benzyl group optionally substituted by a fluorine, chlorine or bromine atom or by a methyl group, a 1-phenylethyl or 2-phenylethyl group, a 2-propen-1-yl, 2-buten-1-yl, 3-chloro-2-buten-1-yl or 2-methyl-2-propen-1-yl group

and

R⁴ denotes a piperazin-1-yl group, are excluded,

the isomers and the salts thereof.

3. Compounds of general formula I according to claim 1, wherein

R¹ denotes a hydrogen atom,

a C₁₋₄-alkyl group,

a C₃₋₅-alkenyl group,

a 2-propen-1-yl group which is substituted by a methoxycarbonyl group,

B' Cont
~~a C₃₋₅-alkynyl group,~~

~~a phenyl group,~~

~~a phenyl-C₁₋₄-alkyl group wherein the phenyl moiety may be substituted by one or two fluorine atoms, one or two chlorine atoms, a bromine atom, one to three methyl groups, a butyl, trifluoromethyl, hydroxy, methoxy, nitro, amino, carboxy or ethoxycarbonyl group,~~

~~a 2-phenylethyl group wherein the ethyl moiety is substituted in the 2 position by a hydroxy, methoxy or hydroxyimino group,~~

~~a phenylcarbonylmethyl group wherein the phenyl moiety may be substituted by a fluorine atom or by a methyl, hydroxy, methoxy, phenoxy, benzyloxy, 2-propen-1-yloxy, 2-propyn-1-yloxy, cyanomethoxy, (methoxycarbonyl)methoxy, methylsulphonyloxy, phenylsulphonyloxy, nitro, amino, acetylamino, methoxycarbonylamino, methylsulphonylamino, bis-(methylsulphonyl)-amino, (methylamino)thiocarbonylamino, (ethoxycarbonylamino)carbonylamino or cyanomethylamino group,~~

~~a phenylcarbonylmethyl group wherein the phenyl moiety is substituted by two methoxy groups or by a bromine atom and by a dimethylamino group,~~

~~a 2-(phenylcarbonyl)ethyl group,~~

~~a 2-phenylethenyl group,~~

~~a phenylsulphanylmethyl or phenylsulphinylmethyl group,~~

~~a naphthylmethyl or naphthylethyl group,~~

~~an isoxazolylmethyl, thiazolylmethyl, pyridylmethyl, benzo[d]isoxazolylmethyl,~~

benzo[d]isothiazolylmethyl, (1*H*-indazol-3-yl)methyl or isoquinolinylmethyl group, wherein the heterocyclic moiety may in each case be substituted by a methyl group,

a pyrrolylethyl, triazolylethyl, thienylethyl, thiazolylethyl or pyridylethyl group, wherein the heterocyclic moiety may in each case be substituted by a methyl group,

B¹
Cont a thienylcarbonylmethyl group,

a methyl group which is substituted by a cyclopropyl, cyano, carboxy, aminocarbonyl or methoxycarbonyl group,

an ethyl group which is substituted in the 2 position by a hydroxy, methoxy, dimethylamino, carboxy or methoxycarbonyl group, or

a propyl group which is substituted in the 3 position by a hydroxy, dimethylamino, carboxy or methoxycarbonyl group,

a 2-oxopropyl group or

an amino or benzoylamino group,

R² denotes a hydrogen atom,

a C₁₋₆-alkyl group,

an ethenyl group,

a 2-propen-1-yl or 2-propyn-1-yl group,

a phenyl group,

a phenyl-C_{1,4}-alkyl group, wherein the phenyl moiety may be substituted by a fluorine atom, a methyl or methoxy group,

a phenylcarbonylmethyl group,

B' Cont
a 2-phenylethenyl group,

a methyl group which is substituted by a cyclopropyl, cyano, carboxy or methoxy-carbonyl group, or

an ethyl group which is substituted in the 2 position by a cyano, hydroxy, methoxy or dimethylamino group,

R³ denotes a C₄₋₆-alkenyl group,

a 1-cyclopenten-1-ylmethyl or 1-cyclohexen-1-ylmethyl group,

a 2-propyn-1-yl, 2-butyne-1-yl or 2-pentyne-1-yl group,

a phenyl group which may be substituted by a fluorine atom or a cyano, methyl or trifluoromethyl group,

a phenyl group which is substituted by two methyl groups,

a naphthyl group,

a benzyl group wherein the phenyl moiety may be substituted by one or two fluorine atoms or a cyano, nitro or amino group,

a naphthylmethyl group,

a 2-phenylethenyl group,

a furanylmethyl or thienylmethyl group or

a cyclopropylmethyl group and

*B1
cont* R⁴ denotes a pyrrolidin-1-yl group which is substituted in the 3 position by an amino group,

an azetidin-1-yl group which is substituted by an aminomethyl group,

a pyrrolidin-1-yl group which is substituted by an aminomethyl group,

a piperidin-1-yl group which is substituted in the 3 position or in the 4 position by an amino, methylamino, dimethylamino or [(2-cyano-pyrrolidin-1-yl)carbonylmethyl]-amino group, wherein the piperidin-1-yl moiety may additionally be substituted by a methyl group,

a piperidin-1-yl group which is substituted by an aminomethyl group,

a piperidin-3-yl or piperidin-4-yl group,

a 1-amino-piperidin-3-yl or 1-amino-piperidin-4-yl group,

a hexahydroazepin-1-yl- group which is substituted in the 3 position or in the 4 position by an amino group,

a piperazin-1-yl or [1,4]diazepan-1-yl group,

a [1,4]diazepan-1-yl group, which is substituted in the 6 position by an amino group,

a 3-aminopropyl group,

B1
cont

a cyclohexyl group which is substituted by an amino group,

a 2-amino-cyclopropylamino group,

a 2-amino-cyclobutylamino group,

a 2-amino-cyclopentylamino or 3-amino-cyclopentylamino group,

a 2-amino-cyclohexylamino, 2-(methylamino)-cyclohexylamino or 3-amino-cyclohexylamino group,

an N-(2-aminocyclohexyl)-methylamino group,

an amino group substituted by the groups R^{15} and R^{16} wherein

R^{15} denotes a methyl or ethyl group and

R^{16} denotes a 2-aminoethyl- 2-(methylamino)ethyl or 2-(dimethylamino)ethyl group, wherein the ethyl moiety may be substituted by one or two methyl groups or by an aminocarbonyl, methylaminocarbonyl, dimethylaminocarbonyl or pyrrolidin-1-ylcarbonyl group,

or an amino or methylamino group wherein the nitrogen atom is substituted by a pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl or piperidin-2-ylmethyl group,

wherein unless otherwise stated, the abovementioned alkyl and alkenyl groups may be straight-chain or branched,

with the proviso that the compounds

3-methyl-7-(2-buten-1-yl)-8-(piperazin-1-yl)-xanthine,

3-methyl-7-(2-methyl-2-propen-1-yl)-8-(piperazin-1-yl)-xanthine,

3-methyl-7-benzyl-8-(piperazin-1-yl)-xanthine,

1,7-dibenzyl-3-methyl-8-(piperazin-1-yl)-xanthine and

1,3-dimethyl-7-(4-fluorobenzyl)-8-(piperazin-1-yl)-xanthine

are excluded,

the isomers and salts thereof.

4. Compounds of general formula I according to claim 1, with the proviso that the compounds wherein R⁴ denotes an optionally substituted piperazin-1-yl or [1,4]diazepan-1-yl group are excluded, the isomers and salts thereof.

5. Compounds of general formula I according to claim 2, with the proviso that the compounds wherein R⁴ denotes an optionally substituted piperazin-1-yl or [1,4]diazepan-1-yl group are excluded, the isomers and salts thereof.

6. Compounds of general formula I according to claim 3, with the proviso that the compounds wherein R⁴ denotes an optionally substituted piperazin-1-yl or [1,4]diazepan-1-yl group are excluded, the isomers and salts thereof.

7. The following compounds of general formula I according to claim 1:

(1) 1,3-dimethyl-7-benzyl-8-(3-amino-pyrrolidin-1-yl)-xanthine,

(2) 1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-pyrrolidin-1-yl)-xanthine,

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Cont
- (3) 1,3-dimethyl-7-benzyl-8-(3-amino-piperidin-1-yl)-xanthine,
- (4) 1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-[(trans-2-amino-cyclohexyl)amino]-xanthine,
- (5) 1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,
- (6) 1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-(4-amino-piperidin-1-yl)-xanthine,
- (7) 1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-[(cis-2-amino-cyclohexyl)amino]-xanthine,
- (8) 1,3-dimethyl-7-(2-butyne-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,
- (9) 1,3-dimethyl-7-[(1-cyclopenten-1-yl)methyl]-8-(3-amino-piperidin-1-yl)-xanthine,
- (10) 1,3-dimethyl-7-(2-thienylmethyl)-8-(3-amino-piperidin-1-yl)-xanthine,
- (11) 1,3-dimethyl-7-(3-fluorobenzyl)-8-(3-amino-piperidin-1-yl)-xanthine,
- (12) 1,3-dimethyl-7-(2-fluorobenzyl)-8-(3-amino-piperidin-1-yl)-xanthine,
- (13) 1,3-dimethyl-7-(4-fluorobenzyl)-8-(3-amino-piperidin-1-yl)-xanthine,
- (14) 1,3-dimethyl-7-(2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,
- (15) 1,3-bis-(cyclopropylmethyl)-7-benzyl-8-(3-amino-piperidin-1-yl)-xanthine,
- (16) (R)-1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,
- (17) (S)-1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

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cont

(18) 1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-hexahydroazepin-1-yl)-xanthine

(19) 1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-(4-amino-hexahydroazepin-1-yl)-xanthine,

(20) 1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-(cis-3-amino-cyclohexyl)-xanthine-hydrochloride,

(21) 1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-(3-methylamino-piperidin-1-yl)-xanthine,

(22) 1-(2-phenylethyl)-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

(23) 1,3-dimethyl-7-(3-methyl-2-buten-1-yl)-8-[N-(2-aminoethyl)-methylamino]-xanthine,

(24) 1-[2-(thiophen-2-yl)-ethyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

(25) 1-[2-(thiophen-3-yl)-ethyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

(26) 1-[2-(2-methyl-phenyl)-ethyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

(27) 1-[2-(3-methyl-phenyl)-ethyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

(28) 1-[2-(3-methoxy-phenyl)-ethyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

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cont
(29) 1-((E)-2-phenyl-vinyl)-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

(30) 1-(2-phenyl-ethyl)-3-methyl-7-(3-methyl-2-buten-1-yl)-8-((S)-3-amino-piperidin-1-yl)-xanthine,

(31) 1-(2-phenyl-ethyl)-3-methyl-7-(3-methyl-2-buten-1-yl)-8-((R)-3-amino-piperidin-1-yl)-xanthine,

(32) 1-[2-(2-methoxy-phenyl)-2-oxo-ethyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

(33) 1-[2-(thiophen-3-yl)-2-oxo-ethyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

(34) 1-(2-phenyl-2-oxo-ethyl)-3-methyl-7-(3-methyl-2-buten-1-yl)-8-((S)-3-amino-piperidin-1-yl)-xanthine,

(35) 1-(2-phenyl-2-oxo-ethyl)-3-methyl-7-(3-methyl-2-buten-1-yl)-8-((R)-3-amino-piperidin-1-yl)-xanthine,

(36) 1-[(isoquinolin-1-yl)methyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-((R)-3-amino-piperidin-1-yl)-xanthine,

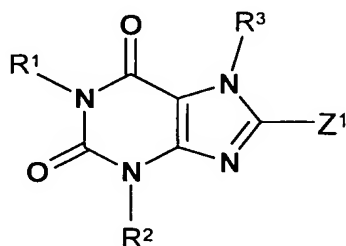
(37) 1-[(isoquinolin-1-yl)methyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-((S)-3-amino-piperidin-1-yl)-xanthine and

(38) 1-[(1-naphthyl)methyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine

and the salts thereof.

8. Physiologically acceptable salts of the compounds according to at least one of claims 1 to 7 with inorganic or organic acids or bases.
9. Pharmaceutical compositions containing a compound according to at least one of claims 1 to 7 or a physiologically acceptable salt according to claim 8 optionally together with one or more inert carriers and/or diluents.
10. Use of a compound according to at least one of claims 1 to 8 for preparing a pharmaceutical compositions which is suitable for treating type I and type II diabetes mellitus, arthritis, obesity, allograft transplantation and osteoporosis caused by calcitonin.
11. Process for preparing a pharmaceutical composition according to claim 9, characterised in that a compound according to at least one of claims 1 to 8 is incorporated in one or more inert carriers and/or diluents by a non-chemical method.
12. Process for preparing the compounds of general formula I according to claims 1 to 8, characterised in that
- a) In order to prepare compounds of general formula I wherein R⁴ is one of the groups mentioned in claim 1 linked to the xanthine skeleton via a nitrogen atom:

a compound of general formula

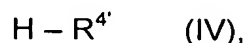


(III),

wherein

R^1 to R^3 are defined as in claims 1 to 4 and

Z^1 denotes a leaving group such as a halogen atom, a substituted hydroxy, mercapto, sulphinyl, sulphonyl or sulphonyloxy group such as a chlorine or bromine atom, a methanesulphonyl or methanesulphonyloxy group, is reacted with a compound of general formula



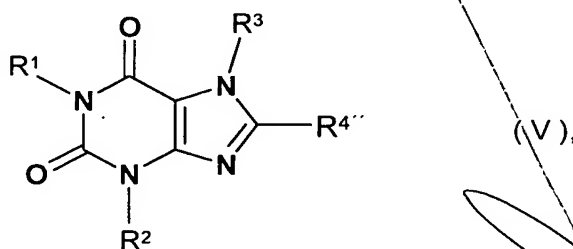
wherein

$R^{4'}$ denotes one of the groups defined for R^4 in claims 1 to 4 which is linked to the xanthine skeleton of general formula I via a nitrogen atom,

or

b) In order to prepare compounds of general formula I wherein R^4 according to the definition in claim 1 contains an amino group or an alkylamino group optionally substituted in the alkyl moiety:

a compound of general formula



wherein R^1 , R^2 and R^3 are defined as in claims 1 to 4 and

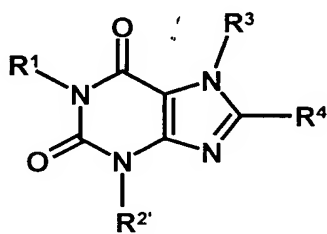
$R^{4''}$ contains an N-tert.-butoxycarbonylamino group or an N-tert.-butoxycarbonyl-N-alkylamino group, wherein the alkyl moiety of the N-tert.-butoxycarbonyl-N-alkylamino group may be substituted as in claims 1 to 4,

is deprotected,

or

c) In order to prepare a compound of general formula I wherein R^2 as hereinbefore defined denotes a hydrogen atom:

a compound of general formula



(VI),

wherein R^1 , R^3 and R^4 are as hereinbefore defined and $R^{2'}$ denotes a protecting group such as a methoxymethyl, benzyloxymethyl, methoxyethoxymethyl or 2-(trimethylsilyl)ethyloxymethyl group,

is deprotected.

add
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